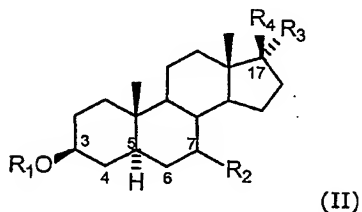
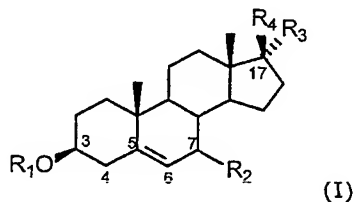


**CLAIMS**

1. A steroid derivative selected from the group of compounds defined by formula (I) or (II) as shown below, wherein the only difference between said formulas is the bond between carbon number 5 and carbon number 6:



wherein

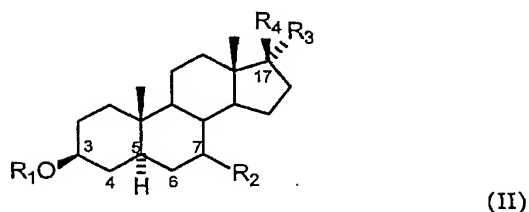
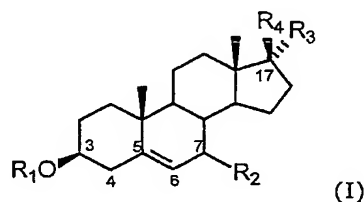
- $R_1O$  is in the  $\beta$ -position and  $R_1$  is a hydrogen atom; an  $NO_2$ , an  $SO_3H$ , an  $OP(OH)_3$  an acyl group, or any other group that forms an ester with an inorganic or organic acid; a protecting group, such as  $CH_3$ ,  $CH_2OMe$ , or  $CH_2O$ -alkyl; an aliphatic chain which is straight or branched, saturated or unsaturated, or cyclic, including mixed cyclic and aliphatic substituents, which substituents are saturated or unsaturated, aromatic or heterocyclic and contains up to 20 carbon atoms, which substituents can be chosen from hydroxyl, any halogen, amino or alkylamino, carboxylic acid or carboxylic acid ester;

$R_2$  is  $R'O$  in  $\beta$ -position of carbon number 7 or is hydrogen in the case of formula (II); wherein  $R'$  independently of  $R_1$ ,  $R_3$  or  $R_4$  can be any one of the groups defined above in relation to  $R_1$ ;

- $R_3$  is in  $\alpha$ -position and is a hydroxyl group, an acyl-group or an alkoxy group  $R''O$ , where  $R''$  independently of  $R_1$ ,  $R_3$ , or  $R_4$  can be any of the groups defined above in relation to  $R_1$ ;
- $R_4$  is in  $\beta$ -position and is hydrogen, an alkyl group, an acyl group, or an alkoxy group of the formula  $R'''O$ , wherein  $R'''$  can be any group mentioned for  $R_1$ , independent of  $R_1$ ,  $R_2$ , or  $R_3$ , for use as a medicament.

2. A steroid derivative according to claim 1, wherein  $R_1$ ,  $R'$ , and/or  $R''$  form one or more ether(s) and/or ester(s) with the steroid.
- 5 3. A steroid derivative according to claim 1 or 2, wherein  $R_4$  is an acyl group, in which hydrogen, or an alkoxy or alkyl group, is attached to the keto group.
4. A steroid derivative according to any one of the preceding claims, wherein  $R_4$  is acetyl ( $\text{CH}_3\text{CO}$ ), wherein a keto group is attached to a methyl, which keto-carbon numbered 20  
10 can have any alkyl, alkenyl, alkynyl, aryl, including branched side chains or mixed aromatic and aliphatic side chains, including cyclic saturated hydrocarbons as well as heterocyclic rings or heteroaliphatic chains containing e.g. N, P, O, Si, S, Se, CN, halogens and containing up to 20 carbons.
- 15 5. A steroid derivative according to any of the preceding claims, wherein said steroid is selected from the group consisting of 5-androstene- $3\beta,7\beta,17\alpha$ -triol, 5-androstene- $3\beta,17\alpha$ -diol-7-one, androstane- $3\beta,7\beta,17\alpha$ -triol and androstane- $3\beta,17\alpha$ -diol-7-one, or an ester or ether thereof.
- 20 6. A steroid derivative selected from the group of compounds defined by formula (I) or (II) as shown above, wherein all substituents except  $R_2$  are as defined in claim 1, and  $R_2$  is in the  $\alpha$ -position and can be  $R'O$ ,  $O=$  or  $S=$ , for use in the manufacture of a medicament for the treatment and/or prevention of a benign and/or malignant tumour, which medicament is capable of interrupting disturbances in Wnt-signaling, such as cell-cycle arrest in G1-  
25 phase, and/or providing an angiostatic effect.
7. Use of a steroid derivative of 5-androstene-, 5-pregnenolone or corresponding saturated derivatives (androstane- or pregnane-) in the manufacture of a medicament for the treatment and/or prevention of a benign and/or malignant tumour, which medicament is  
30 capable of interrupting disturbances in Wnt-signaling, such as cell-cycle arrest in G1-phase, and/or providing an angiostatic effect.

8. Use according to claim 7, wherein said steroid derivative is described by formula (I) or (II), the only difference between said formulas being the bond between carbons 5 and 6, as shown below:



15

wherein

$R_1O$  is in  $\beta$ -position and is a hydrogen atom; an  $NO_2$ , an  $SO_3H$ , an  $OP(OH)_3$  an acyl- group, or any other group that forms an ester with an inorganic or organic acid; a protecting group, such as  $CH_3$ ,  $CH_2OMe$ , or  $CH_2O$ -alkyl; an aliphatic chain which is straight or branched, saturated or unsaturated, or cyclic, including mixed cyclic and aliphatic substituents, which substituents are saturated or unsaturated, aromatic or heterocyclic and contains up to 20 carbon atoms, which substituents can be chosen from hydroxyl, any halogen, amino or alkylamino, carboxylic acid or carboxylic acid ester;

$R_2$  is  $R'O$  in  $\alpha$  or  $\beta$ -position of carbon number 7 or where  $R_2$  is  $O=$  or  $S=$ , where  $R'$  independently of  $R_1$ ,  $R_3$  or  $R_4$  can be any group mentioned in the definition of  $R_1$  except for hydrogen in formula (I), but where  $R_2$  can be hydrogen in formula (II);

$R_3$  is in  $\alpha$ -position and is an hydroxyl-group, an acyl-group or  $R''O$ , where  $R''$  independently can be any group as defined in the above given definition of  $R_1$ ; and

$R_4$  is in  $\beta$ -position and is hydrogen, an alkyl group, an acyl group, or an alkoxy group of the formula  $R'''O$ , wherein  $R'''$  can be any group mentioned under  $R_1$ , independent of  $R_1$ ,  $R_2$  or  $R_3$ .

9. Use according to claim 8, wherein  $R_1$ ,  $R'$  and/or  $R''$  form one or more ether(s) and/or ester(s) with the steroid.

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10. Use according to claim 8 or 9, wherein  $R_4$  is an acyl group, in which hydrogen, or an alkoxy, alkyl, alkenyl or alkynyl group, is attached to the keto group.
11. Use according to claim 10, wherein  $R_4$  is acetyl ( $\text{CH}_3\text{CO}$ ), where a methyl is attached to the keto group, and this keto carbon in position 20 has an alkyl, alkenyl, aryl, including branched, side chain or a mixed aromatic and aliphatic side chain, including cyclic saturated hydrocarbons as well as heterocyclic rings or heteroaliphatic chains, such as those comprising N, P, O, Si, S, Se, CN, or one or more halogen and comprises up to 20 carbons.
12. Use according to any one of claims 7-11, wherein said steroid is selected from the group consisting of 17-hydroxy-pregnenolone ( $17\alpha\text{-OH}$ ),  $\Delta^5$ -androstene- $3\beta,17\alpha$ -diol, 5-androstene- $3\beta,7\beta,17\alpha$ -triol, 5-androstane- $3\beta,7\beta,17\alpha$ -triol, 5-androstene- $3\beta,17\alpha$ -diol-7-one, 5-androstene- $3\beta,7\alpha,17\alpha$ -triol, 5-androstane- $3\beta,7\alpha,17\alpha$ -triol, 5-androstane- $3\beta,17\alpha$ -diol.
13. Use according to any one of claims 7-12, wherein one or more pregnane- and/or androstane-derivative corresponding to the steroid is used in the manufacture of the medicament.
14. Use according to any one of claims 7-13, wherein said interruption is provided by down-regulating an overexpression of cyclin D1 and  $\beta$ -catenin.
15. Use according to any one of claims 7-14, wherein said effects are essentially independent of any direct apoptotic effect on the cells of said tumour.
16. Use according to any one of claims 7-15, wherein said medicament is for the treatment and/or prevention of at least one medical condition selected from the group consisting of colon malignancies and other malignancies with a genotypic or phenotypic overexpression of factors belonging to the Wnt-signaling pathway, such as lung cancers, melanomas, breast cancers, mantle cell lymphomas and other lymphomas characterized by an up-regulation of said factors, head and neck cancers of squamous cell origin, oesophageal cancers, parathyroid cancers or adenomas or other tumours characterized by a disturbance in Wnt-signaling; and conditions dominated by pathologic neovascularisation, such as diabetic retinopathy, exudative forms of macular degeneration, corneal neovascularisation, and vascular tumours.

17. A method of producing a medicament for the treatment and/or prevention of a benign and/or malignant tumour, comprising the steps of
- (a) contacting 5-androstene-3 $\beta$ ,17 $\alpha$ -diol or corresponding saturated steroid, 5-androstane-3 $\beta$ ,17 $\alpha$ -diol, a sulfate donor, a sulphotransferase and PAPS to provide 5-androstene-17 $\alpha$ -ol-3 $\beta$ -sulfate (17 $\alpha$ -AEDS) or , 5-androstane-17 $\alpha$ -ol-3 $\beta$ -sulfate (17 $\alpha$ -AADS); and
  - (b) combining the 17 $\alpha$ -AEDS or 17 $\alpha$ -AADS so produced with a suitable carrier;
- whereby a medicament which is capable of acting as a ligand to peroxisome proliferator-activated receptor- $\gamma$  (PPAR $\gamma$ ) is produced.
18. A method according to claim 17, wherein the enzyme is DHEA-sulfotransferase or a phenol sulphotransferase.
19. A method according to claim 17 or 18, wherein the medicament is for the treatment and/or prevention of a condition selected from the group consisting of urothelial cancers, gastric cancers, cancers of the smaller intestine, pancreatic cancers, tumours derived from endothelial cells, from smooth muscle cells, cancer of the colon, chorioncarcinomas, adenocarcinomas of the lung and liposarcomas, and pathology of the eye tissues, such as cells of the macula and glaucoma.
20. Use of 5-androstene-17 $\alpha$ -ol-3 $\beta$ -sulfate (17 $\alpha$ -AEDS) or corresponding androstane-derivative 17 $\alpha$ -AADS in the manufacture of a medicament, which attenuate the effect, such as androgens, daltanoids, estrogens, retinoids, HNF-4, COUPTF, RXR, RAR, progestins, rexinoids, or cofactors of these or ligands to PPAR- $\alpha$ ,  $\delta$ ,  $\gamma$ .
21. Use of 5-androstene-17 $\alpha$ -ol-3 $\beta$ -sulfate (17 $\alpha$ -AEDS) and/or androstane-17 $\alpha$ -ol-3 $\beta$ -sulfate in the manufacture of an immunomodulating medicament, e.g. for the treatment and/or prevention of an inflammatory disease, such as rheumatoid arthritis, arthrosis, or inflammatory bowel disease, or a disease, such as multiple sclerosis or Guillain Barrés syndrome.
22. A medicament produced according to any one of claims 17-19, which is suitable for the treatment and/or prevention of an inflammatory condition of the eye or in dry macular degeneration.
23. A medicament produced according to any one of claims 17-19, where a prolongation of its effect is achieved through inhibition of sulphatase activity e.g. through simultaneous administration of an inhibitor such as Coumate®.
24. A method according to any one of claims 17-19, where 5-androstene-17 $\alpha$ -ol-3 $\beta$ -sulfate or androstane-17 $\alpha$ -ol-3 $\beta$ -sulfate are produced synthetically.

25. A pharmaceutical composition produced according to the method of any one of claims 17-19 and further comprising 9-cis-retinoic acid, one or more corticosteroids or other ligands of nuclear receptors such as androgens, dexamethasone, estrogens, retinoids, HNF-4, COUP-TF, RXR, RAR, progestins, retinoids, or cofactors of these or ligands to PPAR- $\alpha$ ,  $\delta$ ,  $\gamma$ , having the same biological function in order to attenuate the effect.
26. Pharmaceutical composition according to claim 25, wherein the composition is in prolonged release form comprising cationic dextrans.
27. Method for the treatment of humans suffering from benign and malignant tumours, wherein a therapeutically active amount of a compound according to claims 1 to 6, and claims 7-16.